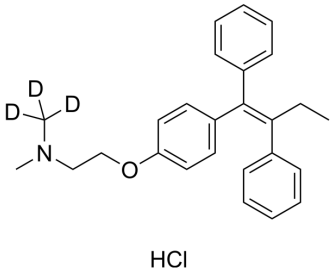
Tamoxifen-d_3 hydrochloride

Cat. No.:	HY-13757S
Molecular Formula:	$C_{26}H_{27}D_3ClNO$
Molecular Weight:	410.99
Target:	Apoptosis; Estrogen Receptor/ERR; Autophagy; HSP; Isotope-Labeled Compounds
Pathway:	Apoptosis; Vitamin D Related/Nuclear Receptor; Autophagy; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Tamoxifen-d_3 hydrochloride is deuterated labeled Tamoxifen (Citrate) (HY-13757). Tamoxifen Citrate (ICI 46474) is an orally active, selective estrogen receptor modulator (SERM) which blocks estrogen action in breast cells and can activate estrogen activity in other cells, such as bone, liver, and uterine cells[1][2][3].Tamoxifen Citrate is a potent Hsp90 activator and enhances the Hsp90 molecular chaperone ATPase activity. Tamoxifen Citrate also potent inhibits infectious EBOV Zaire and Marburg (MARV) with IC_{50} of 0.1 μM and 1.8 μM, respectively[5]. Tamoxifen Citrate activates autophagy and induces apoptosis[4].Tamoxifen Citrate also can induce gene knockout of CreER(T2) transgenic mouse[6].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Tamoxifen Citrate (ICI 46474) shows strong inhibition of MCF-7 cells (EC_{50}=1.41 μM) and to a lesser extent the T47D cells (EC_{50}=2.5 μM) but does not affect the MDA-MB-231 cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Zhao R, et al. Tamoxifen enhances the Hsp90 molecular chaperone ATPase activity. PLoS One. 2010 Apr 1;5(4):e9934.

[2]. Jia Y, et al. Cardiomyocyte-Specific Ablation of Med1 Subunit of the Mediator Complex Causes Lethal DilatedCardiomyopathy in Mice. PLoS One. 2016 Aug 22;11(8):e0160755.

[3]. Osborne CK. Tamoxifen in the treatment of breast cancer. N Engl J Med. 1998 Nov 26;339(22):1609-18.

[4]. Feil S, et, al. Inducible Cre mice. Methods Mol Biol. 2009;530:343-63.

[5]. Hawariah A, et al. In vitro response of human breast cancer cell lines to the growth-inhibitory effects of styrylpyrone derivative (SPD) and assessment of its antiestrogenicity. Anticancer Res. 1998 Nov-Dec;18(6A):4383-6.

[6]. Laura Cooper, et al. Screening and Reverse-Engineering of Estrogen Receptor Ligands as Potent Pan-Filovirus Inhibitors. J Med Chem. 2020 Sep 4.

[7]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

Caution: Product has not been fully validated for medical applications. For research use only.

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